CLAIMS

1 A fast disintegrating controlled release oral composition comprising a core material containing cefuroxime axetil present as controlled release form, and optionally probenecid, said controlled release form comprising :

a) an outer coating of a copolymer selected from aqueous dispersions of enteric methacrylic acid and methacrylic acid esters anionic copolymers having carboxyl group as the functional group or mixtures thereof and;

b) an inner coating of a sustained-release copolymer selected from aqueous dispersions of acrylate and methacrylate pH independent, neutral copolymers having quaternary ammonium group as a functional group or mixtures thereof.

2. A composition as claimed in claim 1 wherein probenecid is present as controlled release form.

3. A composition as claimed in claim1 containing from about 30 % to about 80 % of cefuroxime axetil by weight of controlled release form.

4. A composition as claimed in claim 1 wherein a multidose contains 500 mg to 2 g cefuroxime.

5. A composition as claimed in claim 1 containing cefuroxime axetil in an amount which is equivalent to cefuroxime from 250 mg to 1500 mg.

6. A composition as claimed in claim 1 wherein cefuroxime axetil is essentially amorphous.

7. A composition as claimed in claim 1 wherein a) and b) are present in an amount from about 1 % to about 30 % by weight comprising from about 0.1 % to about 15 % of each copolymer present in the composition, by weight of controlled release form.

8. A composition as claimed in claim 1, wherein the outer enteric coating comprises a poly(ethylacrylate, methacrylic acid) with a molar ratio of 1:1 and average molecular weight around 250,000.

9. A composition as claimed in claim 1, wherein the inner coating comprises a mixture of a first copolymer, poly(ethylacrylate, methylmethacrylate, trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.2 and a molecular weight of 150,000 and a second copolymer, a poly(ethylacrylate, methylmethacrylate,

trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.1 and a molecular weight of 150,000.

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10. A composition as claimed in claim 1, claim 8 or claim 9, wherein the ratio of inner coating to outer coating is in the range of 1:0.3 to 1:5.

11. A composition as claimed in claim 1, claim 8 or claim 9, wherein the ratio of inner coating to outer coating is in the range of 1:0.5 to 1:4.

12. A composition as claimed in claim 9, wherein the first copolymer of the inner coating comprises a poly(ethylacrylate, methylmethacrylate, trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.2 and a molecular weight of 150,000 in the range of about 1 % to about 8 % by weight of controlled release form.

13. A composition as claimed in claim 9, wherein the second copolymer of the inner coating comprises a poly(ethylacrylate, methylmethacrylate, trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.1 and a molecular weight of 150,000 in the range of about 0.1 % to about 5 % by weight of controlled release form.

14. A composition as claimed in claim 9, wherein the inner coating comprises a mixture of a first copolymer, poly(ethylacrylate, methylmethacrylate, trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.2 and a molecular weight of 150,000 and a second copolymer, a poly(ethylacrylate, methylmethacrylate, trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.1 and a molecular weight of 150,000; the ratio of said second copolymer to said first copolymer being in the range of 1:1 to 1:10.

15. A composition as claimed in claim 9, wherein the inner coating comprises a mixture of a first copolymer, poly(ethylacrylate, methylmethacrylate, trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.2 and a molecular weight of 150,000 and a second copolymer, a poly(ethylacrylate, methylmethacrylate, trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.1 and a molecular weight of 150,000; the ratio of said second copolymer to said first copolymer being in the range of 1:1 to 1:8.

16. A composition as claimed in claim 1 wherein the outer coating comprises from about 2 % to about 10 % by weight of controlled release form.

17. A composition as claimed in claim 1 wherein the outer coating comprises from about 2 % to about 8 % by weight of controlled release form.

18. A composition as claimed in claim 1 wherein the inner coating comprises from about 1 % to about 12 % by weight of controlled release form.
19. A composition as claimed in claim 1 wherein the inner coating comprises from about 1 % to about 9 % by weight of controlled release form.
20. A composition as claimed in claim 1, wherein the total dry polymeric content is about 5 to about 30 % by weight of the controlled release form.
21. A composition as claimed in claim 1, claim 8 or claim 9, wherein the controlled release form comprises from about 30 % to about 80 % by weight of cefuroxime axetil and about 1 % to about 25 % by weight of a mixture of a) and b) wherein the inner polymeric coat comprises from about 1 % to about 12 % by weight and the outer polymeric coat comprises from about 2 % to about 10 % by weight of controlled release form.
22. A composition as claimed in claim 1, claim 8 or claim 9, wherein the controlled release form comprises from about 30 % to about 80 % by weight of cefuroxime axetil and about 1 % to about 20 % by weight of a mixture of a) and b) wherein the inner polymeric coat comprises from about 1 % to about 9 % by weight and the outer polymeric coat comprises from about 2 % to about 8 % by weight of controlled release form.
23. A composition as claimed in claim 1 containing probenecid in an amount from 250 mg to 1000 mg.
24. A composition as claimed in claim 1, which further contains at least one water soluble or water dispersible diluent.
25. A composition as claimed in claim 24, wherein the water soluble or water dispersible diluent comprises about 1 % to about 25 % by weight of the composition.
26. A composition as claimed in claim 24, wherein the water dispersible diluent comprises about 5 % to about 25 % by weight of the controlled release form.
27. A composition as claimed in claim 26, wherein the water dispersible diluent is microcrystalline cellulose.
28. A composition as claimed in claim 1, which further contains a wetting agent in amount from about 0.1 % to about 4 % by weight of controlled release form.
29. A composition as claimed in claim 28 wherein the wetting agent is sodium lauryl sulphate.
30. A composition as claimed in claim1, which further contains a lubricant in an amount from about 0.1 % to about 5 % of the composition.

31. A composition as claimed in claim 30 wherein the lubricant is hydrogenated vegetable oil.
32. A composition as claimed in claim 1, which further contains a disintegrant in amount from about 1 % to about 25 % by weight of the composition.
33. A composition as claimed in claim 32, wherein the disintegrant is cross linked carboxymethyl cellulose sodium.
34. A composition as claimed in claim 1, which further contains a binder in amount from about 1 % to about 5 % by weight of the composition.
35. A composition as claimed in claim 34, wherein the binder is polyvinyl pyrrolidone.
36. A composition as claimed in claim 1, wherein the inner coating contains a plasticizer.
37. A composition as claimed in claim 1, wherein the outer coating contains a plasticizer.
38. A composition as claimed in claim 36 or claim 37, wherein the plasticizer is present in an amount of from about 1 % to about 20 % by weight of dry polymer.
39. A composition as claimed in claim 38, wherein the plasticizer is triethyl citrate.
40. A process for preparing a fast disintegrating controlled release oral composition containing cefuroxime axetil as controlled release form, which comprises spraying onto a fluidized bed of cefuroxime axetil core material an aqueous dispersion of an inner polymeric coating, retrieving and drying the coated core material and applying to a fluidized bed of the dried material an aqueous dispersion of an outer polymeric coating material and drying the coated particles wherein the inner polymeric coating is a mixture of a first copolymer, poly(ethylacrylate, methylmethacrylate, trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.2 and a molecular weight of 150,000 and a second copolymer, a poly(ethylacrylate, methylmethacrylate, trimethylammonioethyl methacrylate chloride) with a molar ratio of 1:2:0.1 and a molecular weight of 150,000; and the outer polymeric coating is a poly(ethylacrylate, methacrylic acid) with a molar ratio of 1:1 and average molecular weight around 250,000, wherein the first copolymer of inner coating is present in amount of about 1 % to about 8 %, the second copolymer of inner coating is present in amount of about 0.1 % to about 5 % and outer coating is present in amount of about 2 % to about 10 % by weight of controlled release form, respectively.

41. The process according to claim 40 wherein the inner polymeric coating optionally contains a plasticizer, and further wherein the outer polymeric coating optionally contains a plasticizer.

42. A process for the preparation of a pharmaceutical composition as claimed in claim 1 comprising mixing together cefuroxime axetil, diluents and wetting agent to form a blend, further compacting or wet granulating, sizing and coating the granules by wet granulation or coating in fluidized bed processor using copolymers a) and b), further drying, sizing, lubricating the granules and compressing to form monolithic tablets or bilayered tablets.

43. A process for the preparation of a pharmaceutical composition as claimed in claim 1 comprising mixing together cefuroxime axetil, diluents and wetting agent to form a blend, further compacting or wet granulating, sizing and coating the granules by wet granulation or coating in fluidized bed processor using copolymers a) and b), further drying, sizing, lubricating the granules and compressing into tablets along with immediate release probenecid granules to form monolithic tablets or bilayered tablets.

44. A process for the preparation of pharmaceutical composition as claimed in claim 1 comprising mixing together probenecid, diluent and disintegrant together, compacting or wet granulating, sizing and coating the granules by wet granulation or coating in fluidized bed processor using copolymers a) and b), further drying, sizing, lubricating the granules and compressing into tablets along with coated controlled release cefuroxime axetil granules to form monolithic tablets or bilayered tablets.

45. A process for the preparation of pharmaceutical composition as claimed in claim 1 comprising mixing together probenecid, diluent and disintegrant together, compacting or wet granulating, sizing and blending with lubricant and compressing the blend into tablets along with controlled release coated granules of cefuroxime axetil to form monolithic tablets or bilayered tablets.

46. A process for the preparation of a pharmaceutical composition as claimed in any one of the claims 40, 42 to 44 wherein the inlet and outlet air temperatures of fluid bed processor are maintained between 40° C to 65° C and 20° C to 40° C, respectively.

47. A process for the preparation of a pharmaceutical composition as claimed in any one of the claims 40, 42 to 44 wherein the inlet and outlet air temperatures of fluid bed processor are maintained between 55° C to 65° C and 30° C to 40° C, respectively.